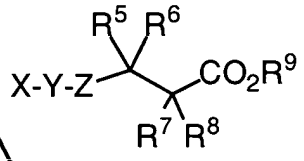
a1 -- This application is a divisional of Serial No. 09/454,847, filed December 2, 1999, which is a divisional of Serial No. 09/212,082, filed December 15, 1998, which in turn is related to US provisional applications Serial No. 60/069,899, filed December 17,1997; 60/083,209, filed April 27, 1998; 60/092,622, filed July 13, 1998; and 60/108,063, filed November 12, 1998; the contents of all of which are hereby incorporated by reference. --

IN THE CLAIMS:

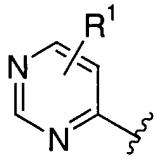
CANCEL Claims 1-40

ADD Claims 41-63

a2 41. A compound of the formula



wherein X is



Y is selected from the group consisting of

$-(CH_2)_m-$,
$-(CH_2)_m-O-(CH_2)_n-$,
$-(CH_2)_m-NR^4-(CH_2)_n-$,
$-(CH_2)_m-S-(CH_2)_n-$,
$-(CH_2)_m-SO-(CH_2)_n-$,
$-(CH_2)_m-SO_2-(CH_2)_n-$,
$-(CH_2)_m-O-(CH_2)_n-O-(CH_2)_p-$,

contd A2

B1 Cont

$-(CH_2)_m-O-(CH_2)_n-NR^4-(CH_2)_p-$,
$-(CH_2)_m-NR^4-(CH_2)_n-NR^4-(CH_2)_p-$,
$-(CH_2)_m-O-(CH_2)_n-S-(CH_2)_p-$,
$-(CH_2)_m-S-(CH_2)_n-S-(CH_2)_p-$,
$-(CH_2)_m-NR^4-(CH_2)_n-S-(CH_2)_p-$,
$-(CH_2)_m-NR^4-(CH_2)_n-O-(CH_2)_p-$,
$-(CH_2)_m-S-(CH_2)_n-O-(CH_2)_p-$, and
$-(CH_2)_m-S-(CH_2)_n-NR^4-(CH_2)_p-$,

wherein any methylene (CH_2) carbon atom in Y, other than in R^4, can be substituted by one or two R^3 substituents, with the proviso that when Y is $-(CH_2)_m-NR^4-(CH_2)_n-$ and n = 1, then the R^3 substituent on the methylene carbon in $-(CH_2)_m-$ adjacent to the nitrogen cannot be oxo;

Z is selected from the group consisting of

$-\overset{O}{\overset{\|}{C}}NR^4-$; $-NR^4\overset{O}{\overset{\|}{C}}-$; $-NR^4\overset{O}{\overset{\|}{C}}NR^4-$;
$-CH_2CH_2-$, and -CH=CH-, wherein either carbon atom can be substituted by one or two R^3 substituents;

R^1 and R^2 are each independently selected from the group consisting of

hydrogen, halogen, C_{1-10} alkyl, C_{3-8} cycloalkyl,
C_{3-8} cycloheteroalkyl, C_{3-8} cycloalkyl C_{1-6} alkyl,
C_{3-8} cycloheteroalkyl C_{1-6} alkyl, aryl, aryl C_{1-8} alkyl, amino,
amino C_{1-8} alkyl, C_{1-3} acylamino, C_{1-3} acylamino C_{1-8} alkyl,
$(C_{1-6}$ alkyl$)_p$amino, $(C_{1-6}$ alkyl$)_p$amino C_{1-8} alkyl,
C_{1-4} alkoxy, C_{1-4} alkoxy C_{1-6} alkyl, hydroxycarbonyl,
hydroxycarbonyl C_{1-6} alkyl, C_{1-3} alkoxycarbonyl,
C_{1-3} alkoxycarbonyl C_{1-6} alkyl, hydroxycarbonyl-
C_{1-6} alkyloxy, hydroxy, hydroxy C_{1-6} alkyl, C_{1-6} alkyloxy-
C_{1-6} alkyl, nitro, cyano, trifluoromethyl, trifluoromethoxy,

contd.
A2

trifluoroethoxy, C_{1-8} alkyl-$S(O)_p$, $(C_{1-8}alkyl)_p$aminocarbonyl,
C_{1-8} alkyloxycarbonylamino, $(C_{1-8}$ alkyl$)_p$aminocarbonyloxy,
(aryl C_{1-8} alkyl$)_p$amino, (aryl$)_p$amino, aryl C_{1-8}
alkylsulfonylamino, and C_{1-8} alkylsulfonylamino;

B1 Cont

or two R^1 substituents, when on the same carbon atom, are taken together with the carbon atom to which they are attached to form a carbonyl group;

each R^3 is independently selected from the group consisting of
hydrogen,
aryl,
C_{1-10} alkyl,
aryl-$(CH_2)_r$-O-$(CH_2)_s$-,
aryl-$(CH_2)_r S(O)_p$-$(CH_2)_s$-,
aryl-$(CH_2)_r$-C(O)-$(CH_2)_s$-,
aryl-$(CH_2)_r$-C(O)-N(R^4)-$(CH_2)_s$-,
aryl-$(CH_2)_r$-N(R^4)-C(O)-$(CH_2)_s$-,
aryl-$(CH_2)_r$-N(R^4)-$(CH_2)_s$-,
halogen,
hydroxyl,
oxo,
trifluoromethyl,
C_{1-8} alkylcarbonylamino,
aryl C_{1-5} alkoxy,
C_{1-5} alkoxycarbonyl,
$(C_{1-8}$ alkyl$)_p$aminocarbonyl,
C_{1-6} alkylcarbonyloxy,
C_{3-8} cycloalkyl,
$(C_{1-6}$ alkyl$)_p$amino,
amino C_{1-6} alkyl,
arylaminocarbonyl,
aryl C_{1-5} alkylaminocarbonyl,
aminocarbonyl,

contd A2 B1 Cont

aminocarbonyl C_{1-6} alkyl,
hydroxycarbonyl,
hydroxycarbonyl C_{1-6} alkyl,
$HC\equiv C-(CH_2)_t$-,
C_{1-6} alkyl-$C\equiv C-(CH_2)_t$-,
C_{3-7} cycloalkyl-$C\equiv C-(CH_2)_t$-,
aryl-$C\equiv C-(CH_2)_t$-,
C_{1-6} alkylaryl-$C\equiv C-(CH_2)_t$-,
$CH_2=CH-(CH_2)_t$-,
C_{1-6} alkyl-$CH=CH-(CH_2)_t$-,
C_{3-7} cycloalkyl-$CH=CH-(CH_2)_t$-,
aryl-$CH=CH-(CH_2)_t$-,
C_{1-6} alkylaryl-$CH=CH-(CH_2)_t$-,
C_{1-6} alkyl-$SO_2-(CH_2)_t$-,
C_{1-6} alkylaryl-$SO_2-(CH_2)_t$-,
C_{1-6} alkoxy,
aryl C_{1-6} alkoxy,
aryl C_{1-6} alkyl,
$(C_{1-6}$ alkyl$)_p$amino C_{1-6} alkyl,
$(aryl)_p$amino,
$(aryl)_p$amino C_{1-6} alkyl,
$(aryl\ C_{1-6}$ alkyl$)_p$amino,
$(aryl\ C_{1-6}$ alkyl$)_p$amino C_{1-6} alkyl,
arylcarbonyloxy,
aryl C_{1-6} alkylcarbonyloxy,
$(C_{1-6}$ alkyl$)_p$aminocarbonyloxy,
C_{1-8} alkylsulfonylamino,
arylsulfonylamino,
C_{1-8} alkylsulfonylamino C_{1-6} alkyl,
arylsulfonylamino C_{1-6} alkyl,
aryl C_{1-6} alkylsulfonylamino,
aryl C_{1-6} alkylsulfonylamino C_{1-6} alkyl,

contd.
A 2
B 1
Cont

C_{1-8} alkoxycarbonylamino,
C_{1-8} alkoxycarbonylamino C_{1-8} alkyl,
aryloxycarbonylamino C_{1-8} alkyl,
aryl C_{1-8} alkoxycarbonylamino,
aryl C_{1-8} alkoxycarbonylamino C_{1-8} alkyl,
C_{1-8} alkylcarbonylamino,
C_{1-8} alkylcarbonylamino C_{1-6} alkyl,
arylcarbonylamino C_{1-6} alkyl,
aryl C_{1-6} alkylcarbonylamino,
aryl C_{1-6} alkylcarbonylamino C_{1-6} alkyl,
aminocarbonylamino C_{1-6} alkyl,
$(C_{1-8}$ alkyl$)_p$aminocarbonylamino,
$(C_{1-8}$ alkyl$)_p$aminocarbonylamino C_{1-6} alkyl,
$(aryl)_p$aminocarbonylamino C_{1-6} alkyl,
(aryl C_{1-8} alkyl$)_p$aminocarbonylamino,
(aryl C_{1-8} alkyl$)_p$aminocarbonylamino C_{1-6} alkyl,
aminosulfonylamino C_{1-6} alkyl,
$(C_{1-8}$ alkyl$)_p$aminosulfonylamino,
$(C_{1-8}$ alkyl$)_p$aminosulfonylamino C_{1-6} alkyl,
$(aryl)_p$aminosulfonylamino C_{1-6} alkyl,
(aryl C_{1-8} alkyl$)_p$aminosulfonylamino,
(aryl C_{1-8} alkyl$)_p$aminosulfonylamino C_{1-6} alkyl,
C_{1-6} alkylsulfonyl,
C_{1-6} alkylsulfonyl C_{1-6} alkyl,
arylsulfonyl C_{1-6} alkyl,
aryl C_{1-6} alkylsulfonyl,
aryl C_{1-6} alkylsulfonyl C_{1-6} alkyl,
C_{1-6} alkylcarbonyl,
C_{1-6} alkylcarbonyl C_{1-6} alkyl,
arylcarbonyl C_{1-6} alkyl,
aryl C_{1-6} alkylcarbonyl,
aryl C_{1-6} alkylcarbonyl C_{1-6} alkyl,

contd. A2

B1 Cont

C_{1-6} alkylthiocarbonylamino,
C_{1-6} alkylthiocarbonylamino C_{1-6} alkyl,
arylthiocarbonylamino C_{1-6} alkyl,
aryl C_{1-6} alkylthiocarbonylamino,
aryl C_{1-6} alkylthiocarbonylamino C_{1-6} alkyl,
$(C_{1-8}$ alkyl$)_p$aminocarbonyl C_{1-6} alkyl,
$(aryl)_p$aminocarbonyl C_{1-6} alkyl,
(aryl C_{1-8} alkyl$)_p$aminocarbonyl, and
(aryl C_{1-8} alkyl$)_p$aminocarbonyl C_{1-6} alkyl;
or two R^3 substituents, when on the same carbon atom are taken together with the carbon atom to which they are attached to form a carbonyl group or a cyclopropyl group,

wherein any of the alkyl groups of R^3 are either unsubstituted or substituted with one to three R^1 substituents, and provided that each R^3 is selected such that in the resultant compound the carbon atom or atoms to which R^3 is attached is itself attached to no more than one heteroatom;

each R^4 is independently selected from the group consisting of
hydrogen,
aryl,
aminocarbonyl,
C_{3-8} cycloalkyl,
amino C_{1-6} alkyl,
$(aryl)_p$aminocarbonyl,
(aryl C_{1-5} alkyl$)_p$aminocarbonyl,
hydroxycarbonyl C_{1-6} alkyl,
C_{1-8} alkyl,
aryl C_{1-6} alkyl,
$(C_{1-6}$ alkyl$)_p$amino C_{2-6} alkyl,
(aryl C_{1-6} alkyl$)_p$amino C_{2-6} alkyl,
C_{1-8} alkylsulfonyl,
C_{1-8} alkoxycarbonyl,
aryloxycarbonyl,
aryl C_{1-8} alkoxycarbonyl,

contd.
a 2

B 1 Cont

C_{1-8} alkylcarbonyl,
arylcarbonyl,
aryl C_{1-6} alkylcarbonyl,
$(C_{1-8}$ alkyl$)_p$aminocarbonyl,
aminosulfonyl,
C_{1-8} alkylaminosulfonyl,
$(aryl)_p$aminosulfonyl,
$(aryl\ C_{1-8}$ alkyl$)_p$aminosulfonyl,
arylsulfonyl,
arylC1-6 alkylsulfonyl,
C_{1-6} alkylthiocarbonyl,
arylthiocarbonyl, and
aryl C_{1-6} alkylthiocarbonyl,

wherein any of the alkyl groups of R^4 are either unsubstituted or substituted with one to three R^1 substituents;

R^5 and R^6 are each independently selected from the group consisting of

hydrogen,
C_{1-10} alkyl,
aryl,
aryl-$(CH_2)_r$-O-$(CH_2)_s$-,
aryl-$(CH_2)_r S(O)_p$-$(CH_2)_s$-,
aryl-$(CH_2)_r$-C(O)-$(CH_2)_s$-,
aryl-$(CH_2)_r$-C(O)-N(R^4)-$(CH_2)_s$-,
aryl-$(CH_2)_r$-N(R^4)-C(O)-$(CH_2)_s$-,
aryl-$(CH_2)_r$-N(R^4)-$(CH_2)_s$-,
halogen,
hydroxyl,
C_{1-8} alkylcarbonylamino,
aryl C_{1-5} alkoxy,
C_{1-5} alkoxycarbonyl,
$(C_{1-8}$ alkyl$)_p$aminocarbonyl,

contd. A^2

B^1 cont

C_{1-6} alkylcarbonyloxy,
C_{3-8} cycloalkyl,
$(C_{1-6}$ alkyl$)_p$amino,
amino C_{1-6} alkyl,
arylaminocarbonyl,
aryl C_{1-5} alkylaminocarbonyl,
aminocarbonyl,
aminocarbonyl C_{1-6} alkyl,
hydroxycarbonyl,
hydroxycarbonyl C_{1-6} alkyl,
$HC\equiv C\text{-}(CH_2)_t$-,
C_{1-6} alkyl-$C\equiv C\text{-}(CH_2)_t$-,
C_{3-7} cycloalkyl-$C\equiv C\text{-}(CH_2)_t$-,
aryl-$C\equiv C\text{-}(CH_2)_t$-,
C_{1-6} alkylaryl-$C\equiv C\text{-}(CH_2)_t$-,
$CH_2{=}CH\text{-}(CH_2)_t$-,
C_{1-6} alkyl-$CH{=}CH\text{-}(CH_2)_t$-,
C_{3-7} cycloalkyl-$CH{=}CH\text{-}(CH_2)_t$-,
aryl-$CH{=}CH\text{-}(CH_2)_t$-,
C_{1-6} alkylaryl-$CH{=}CH\text{-}(CH_2)_t$-,
C_{1-6} alkyl-$SO_2\text{-}(CH_2)_t$-,
C_{1-6} alkylaryl-$SO_2\text{-}(CH_2)_t$-,
C_{1-6} alkoxy,
aryl C_{1-6} alkoxy,
aryl C_{1-6} alkyl,
$(C_{1-6}$ alkyl$)_p$amino C_{1-6} alkyl,
$(aryl)_p$amino,
$(aryl)_p$amino C_{1-6} alkyl,
(aryl C_{1-6} alkyl$)_p$amino,
(aryl C_{1-6} alkyl$)_p$amino C_{1-6} alkyl,
arylcarbonyloxy,
aryl C_{1-6} alkylcarbonyloxy,

contd.
a^2

R^1 Cont

$(C_{1-6}$ alkyl$)_p$aminocarbonyloxy,
C_{1-8} alkylsulfonylamino,
arylsulfonylamino,
C_{1-8} alkylsulfonylamino C_{1-6} alkyl,
arylsulfonylamino C_{1-6} alkyl,
aryl C_{1-6} alkylsulfonylamino,
aryl C_{1-6} alkylsulfonylamino C_{1-6} alkyl,
C_{1-8} alkoxycarbonylamino,
C_{1-8} alkoxycarbonylamino C_{1-8} alkyl,
aryloxycarbonylamino C_{1-8} alkyl,
aryl C_{1-8} alkoxycarbonylamino,
aryl C_{1-8} alkoxycarbonylamino C_{1-8} alkyl,
C_{1-8} alkylcarbonylamino,
C_{1-8} alkylcarbonylamino C_{1-6} alkyl,
arylcarbonylamino C_{1-6} alkyl,
aryl C_{1-6} alkylcarbonylamino,
aryl C_{1-6} alkylcarbonylamino C_{1-6} alkyl,
aminocarbonylamino C_{1-6} alkyl,
$(C_{1-8}$ alkyl$)_p$aminocarbonylamino,
$(C_{1-8}$ alkyl$)_p$aminocarbonylamino C_{1-6} alkyl,
$(aryl)_p$aminocarbonylamino C_{1-6} alkyl,
(aryl C_{1-8} alkyl$)_p$aminocarbonylamino,
(aryl C_{1-8} alkyl$)_p$aminocarbonylamino C_{1-6} alkyl,
aminosulfonylamino C_{1-6} alkyl,
$(C_{1-8}$ alkyl$)_p$aminosulfonylamino,
$(C_{1-8}$ alkyl$)_p$aminosulfonylamino C_{1-6} alkyl,
$(aryl)_p$aminosulfonylamino C_{1-6} alkyl,
(aryl C_{1-8} alkyl$)_p$aminosulfonylamino,
(aryl C_{1-8} alkyl$)_p$aminosulfonylamino C_{1-6} alkyl,
C_{1-6} alkylsulfonyl,
C_{1-6} alkylsulfonyl C_{1-6} alkyl,
arylsulfonyl C_{1-6} alkyl,

contd.
a^2
R^1 Cont

aryl C_{1-6} alkylsulfonyl,
aryl C_{1-6} alkylsulfonyl C_{1-6} alkyl,
C_{1-6} alkylcarbonyl,
C_{1-6} alkylcarbonyl C_{1-6} alkyl,
arylcarbonyl C_{1-6} alkyl,
aryl C_{1-6} alkylcarbonyl,
aryl C_{1-6} alkylcarbonyl C_{1-6} alkyl,
C_{1-6} alkylthiocarbonylamino,
C_{1-6} alkylthiocarbonylamino C_{1-6} alkyl,
arylthiocarbonylamino C_{1-6} alkyl,
aryl C_{1-6} alkylthiocarbonylamino,
aryl C_{1-6} alkylthiocarbonylamino C_{1-6} alkyl,
$(C_{1-8}$ alkyl$)_p$aminocarbonyl C_{1-6} alkyl,
$(\text{aryl})_p$aminocarbonyl C_{1-6} alkyl,
(aryl C_{1-8} alkyl$)_p$aminocarbonyl, and
(aryl C_{1-8} alkyl$)_p$aminocarbonyl C_{1-6} alkyl;

or R^5 and R^6 are taken together with the carbon atom to which they are attached to form a carbonyl group,

wherein any of the alkyl groups of R^5 or R^6 are either unsubstituted or substituted with one to three R^1 substituents, and provided that each R^5 and R^6 are selected such that in the resultant compound the carbon atom to which R^5 and R^6 are attached is itself attached to no more than one heteroatom;

R^7 and R^8 are each independently selected from the group consisting of

hydrogen,
C_{1-10} alkyl,
aryl,
aryl-$(CH_2)_r$-O-$(CH_2)_s$-,
aryl-$(CH_2)_r S(O)_p$-$(CH_2)_s$-,
aryl-$(CH_2)_r$-C(O)-$(CH_2)_s$-,
aryl-$(CH_2)_r$-C(O)-N(R^4)-$(CH_2)_s$-,
aryl-$(CH_2)_r$-N(R^4)-C(O)-$(CH_2)_s$-,

contd.
a 2
B 1
Cont

aryl-$(CH_2)_r$-N(R^4)-$(CH_2)_s$-,
halogen,
hydroxyl,
C_{1-8} alkylcarbonylamino,
aryl C_{1-5} alkoxy,
C_{1-5} alkoxycarbonyl,
(C_{1-8} alkyl)$_p$aminocarbonyl,
C_{1-6} alkylcarbonyloxy,
C_{3-8} cycloalkyl,
(C_{1-6} alkyl)$_p$amino,
amino C_{1-6} alkyl,
arylaminocarbonyl,
aryl C_{1-5} alkylaminocarbonyl,
aminocarbonyl,
aminocarbonyl C_{1-6} alkyl,
hydroxycarbonyl,
hydroxycarbonyl C_{1-6} alkyl,
HC≡C-$(CH_2)_t$-,
C_{1-6} alkyl-C≡C-$(CH_2)_t$-,
C_{3-7} cycloalkyl-C≡C-$(CH_2)_t$-,
aryl-C≡C-$(CH_2)_t$-,
C_{1-6} alkylaryl-C≡C-$(CH_2)_t$-,
CH_2=CH-$(CH_2)_t$-,
C_{1-6} alkyl-CH=CH-$(CH_2)_t$-,
C_{3-7} cycloalkyl-CH=CH-$(CH_2)_t$-,
aryl-CH=CH-$(CH_2)_t$-,
C_{1-6} alkylaryl-CH=CH-$(CH_2)_t$-,
C_{1-6} alkyl-SO_2-$(CH_2)_t$-,
C_{1-6} alkylaryl-SO_2-$(CH_2)_t$-,
C_{1-6} alkoxy,
aryl C_{1-6} alkoxy,
aryl C_{1-6} alkyl,

contd. A2

B1 Cont

$(C_{1-6}$ alkyl$)_p$amino C_{1-6} alkyl,
$(aryl)_p$amino,
$(aryl)_p$amino C_{1-6} alkyl,
(aryl C_{1-6} alkyl$)_p$amino,
(aryl C_{1-6} alkyl$)_p$amino C_{1-6} alkyl,
arylcarbonyloxy,
aryl C_{1-6} alkylcarbonyloxy,
$(C_{1-6}$ alkyl$)_p$aminocarbonyloxy,
C_{1-8} alkylsulfonylamino,
arylcarbonylamino,
arylsulfonylamino,
C_{1-8} alkylsulfonylamino C_{1-6} alkyl,
arylsulfonylamino C_{1-6} alkyl,
aryl C_{1-6} alkylsulfonylamino,
aryl C_{1-6} alkylsulfonylamino C_{1-6} alkyl,
C_{1-8} alkoxycarbonylamino,
C_{1-8} alkoxycarbonylamino C_{1-8} alkyl,
aryloxycarbonylamino C_{1-8} alkyl,
aryl C_{1-8} alkoxycarbonylamino,
aryl C_{1-8} alkoxycarbonylamino C_{1-8} alkyl,
C_{1-8} alkylcarbonylamino C_{1-6} alkyl,
arylcarbonylamino C_{1-6} alkyl,
aryl C_{1-6} alkylcarbonylamino,
aryl C_{1-6} alkylcarbonylamino C_{1-6} alkyl,
aminocarbonylamino C_{1-6} alkyl,
arylaminocarbonylamino,
$(C_{1-8}$ alkyl$)_p$aminocarbonylamino,
$(C_{1-8}$ alkyl$)_p$aminocarbonylamino C_{1-6} alkyl,
$(aryl)_p$aminocarbonylamino C_{1-6} alkyl,
(aryl C_{1-8} alkyl$)_p$aminocarbonylamino,
(aryl C_{1-8} alkyl$)_p$aminocarbonylamino C_{1-6} alkyl,
aminosulfonylamino C_{1-6} alkyl,

contd. A^2

R^1 Cont

(C_{1-8} alkyl)$_p$aminosulfonylamino,
(C_{1-8} alkyl)$_p$aminosulfonylamino C_{1-6} alkyl,
(aryl)$_p$aminosulfonylamino C_{1-6} alkyl,
(aryl C_{1-8} alkyl)$_p$aminosulfonylamino,
(aryl C_{1-8} alkyl)$_p$aminosulfonylamino C_{1-6} alkyl,
C_{1-6} alkylsulfonyl,
C_{1-6} alkylsulfonyl C_{1-6} alkyl,
arylsulfonyl C_{1-6} alkyl,
aryl C_{1-6} alkylsulfonyl,
aryl C_{1-6} alkylsulfonyl C_{1-6} alkyl,
C_{1-6} alkylcarbonyl,
C_{1-6} alkylcarbonyl C_{1-6} alkyl,
arylcarbonyl C_{1-6} alkyl,
aryl C_{1-6} alkylcarbonyl,
aryl C_{1-6} alkylcarbonyl C_{1-6} alkyl,
C_{1-6} alkylthiocarbonylamino,
C_{1-6} alkylthiocarbonylamino C_{1-6} alkyl,
arylthiocarbonylamino C_{1-6} alkyl,
aryl C_{1-6} alkylthiocarbonylamino,
aryl C_{1-6} alkylthiocarbonylamino C_{1-6} alkyl,
(C_{1-8} alkyl)$_p$aminocarbonyl C_{1-6} alkyl,
(aryl)$_p$aminocarbonyl C_{1-6} alkyl,
(aryl C_{1-8} alkyl)$_p$aminocarbonyl,
(aryl C_{1-8} alkyl)$_p$aminocarbonyl C_{1-6} alkyl, and
C_{7-20} polycyclyl C_{0-8} alkylsulfonylamino,

wherein any of the alkyl groups of $R^7$and R^8 are either unsubstituted or substituted with one to three R^1 substituents, and provided that each $R^7$and R^8 are selected such that in the resultant compound the carbon atom to which R^7 and R^8 are attached is itself attached to no more than one heteroatom;

R^9 is selected from the group consisting of
hydrogen,

contd. a2

C_{1-8} alkyl,
aryl,
aryl C_{1-8} alkyl,
C_{1-8} alkylcarbonyloxy C_{1-4} alkyl,
aryl C_{1-8} alkylcarbonyloxy C_{1-4} alkyl,
C_{1-8} alkylaminocarbonylmethylene, and
C_{1-8} dialkylaminocarbonylmethylene;

B1 Cont

wherein
each m is independently an integer from 0 to 6;
each n is independently an integer from 0 to 6;
each p is independently an integer from 0 to 2;
each r is independently an integer from 1 to 3;
each s is independently an integer from 0 to 3; and
each t is independently an integer from 0 to 3;

and the pharmaceutically acceptable salts thereof.

42. The compound of Claim 41 wherein Y is selected from the group consisting of

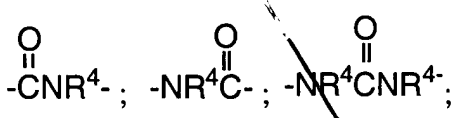
$-(CH_2)_m-$,
$-(CH_2)_m-O-(CH_2)_n-$,
$-(CH_2)_m-NR^4-(CH_2)_n-$,
$-(CH_2)_m-S-(CH_2)_n-$,
$-(CH_2)_m-SO-(CH_2)_n-$,
$-(CH_2)_m-SO_2-(CH_2)_n-$,
$-(CH_2)_m-O-(CH_2)_n-O-(CH_2)_p-$,
$-(CH_2)_m-O-(CH_2)_n-NR^4-(CH_2)_p-$,
$-(CH_2)_m-NR^4-(CH_2)_n-NR^4-(CH_2)_p-$, and
$-(CH_2)_m-NR^4-(CH_2)_n-O-(CH_2)_p-$,

contd A2

wherein any methylene (CH_2) carbon atom in Y, other than in R^4, can be substituted by one or two R^3 substituents, with the proviso that when Y is $-(CH_2)_m-NR^4-(CH_2)_n-$ and n = 1, then the R^3 substituent on the methylene carbon in $-(CH_2)_m-$ adjacent to the nitrogen cannot be oxo;

B1 Cont

and Z is selected from the group consisting of



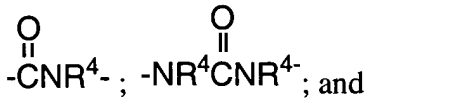
-CH_2CH_2-, and -CH=CH-, wherein either carbon atom can be substituted by one or two R^3 substituents.

43. The compound of Claim 44 wherein Y is selected from the group consisting of

$(CH_2)_m$, $(CH_2)_m$-S-$(CH_2)_n$, and $(CH_2)_m$-NR^4-$(CH_2)_n$,

wherein any methylene (CH_2) carbon atom in Y, other than in R^4, can be substituted by one or two R^3 substituents, with the proviso that when Y is $-(CH_2)_m-NR^4-(CH_2)_n-$ and n = 1, then the R^3 substituent on the methylene carbon in $-(CH_2)_m-$ adjacent to the nitrogen cannot be oxo;

and Z is selected from the group consisting of



-CH_2CH_2-, wherein either carbon atom can be substituted by one or two R^3 substituents.

44. The compound of Claim 43 wherein each R^3 is independently selected from the group consisting of

hydrogen,

fluoro,

contd. a2

trifluoromethyl,
aryl,
C_{1-8} alkyl,
arylC_{1-6} alkyl
hydroxyl,
oxo,
arylaminocarbonyl,
aryl C_{1-5} alkylaminocarbonyl,
aminocarbonyl, and
aminocarbonyl C_{1-6} alkyl;

and each R^4 is independently selected from the group consisting of
hydrogen,
aryl,
C_{3-8} cycloalkyl,
C_{1-8} alkyl,
C_{1-8} alkylcarbonyl,
arylcarbonyl,
C_{1-6} alkylsulfonyl,
arylsulfonyl,
arylC_{1-6}alkylsulfonyl,
arylC_{1-6}alkylcarbonyl,
C_{1-8}alkylaminocarbonyl,
arylC_{1-5}alkylaminocarbonyl,
arylC_{1-8}alkoxycarbonyl, and
C_{1-8}alkoxycarbonyl.

45. The compound of Claim 44 wherein R^6, R^7, and R^8 are each hydrogen and R^5 is selected from the group consisting of
hydrogen,
aryl,
C_{1-8} alkyl,

contd.
Q 2

aryl-C≡C-$(CH_2)_t$-,
aryl C_{1-6} alkyl,
CH_2=CH-$(CH_2)_t$-, and
HC≡C-$(CH_2)_t$-.

46. The compound of Claim 45 wherein R^9 is selected from the group consisting of hydrogen, methyl, and ethyl.

47. The compound of Claim 46 wherein R^9 is hydrogen.

48. The compound of Claim 44 wherein R^5, R^6, and R^8 are each hydrogen and R^7 is selected from the group consisting of
hydrogen,
aryl,
C_{1-8} alkylcarbonylamino,
C_{1-8} alkylsulfonylamino,
arylcarbonylamino,
arylsulfonylamino,
C_{1-8} alkylsulfonylamino C_{1-6} alkyl,
arylsulfonylamino C_{1-6} alkyl,
aryl C_{1-6} alkylsulfonylamino,
aryl C_{1-6} alkylsulfonylamino C_{1-6} alkyl,
C_{1-8} alkoxycarbonylamino,
C_{1-8} alkoxycarbonylamino C_{1-8} alkyl,
aryloxycarbonylamino C_{1-8} alkyl,
aryl C_{1-8} alkoxycarbonylamino,
aryl C_{1-8} alkoxycarbonylamino C_{1-8} alkyl,
C_{1-8} alkylcarbonylamino C_{1-6} alkyl,
arylcarbonylamino C_{1-6} alkyl,
aryl C_{1-6} alkylcarbonylamino,
aryl C_{1-6} alkylcarbonylamino C_{1-6} alkyl,
aminocarbonylamino C_{1-6} alkyl,

contd.
a2

$(C_{1-8}$ alkyl$)_p$aminocarbonylamino,
$(C_{1-8}$ alkyl$)_p$aminocarbonylamino C_{1-6} alkyl,
(aryl)$_p$aminocarbonylamino C_{1-6} alkyl,
arylaminocarbonylamino,
(aryl C_{1-8} alkyl)$_p$aminocarbonylamino,
(aryl C_{1-8} alkyl)$_p$aminocarbonylamino C_{1-6} alkyl,
aminosulfonylamino C_{1-6} alkyl,
$(C_{1-8}$ alkyl$)_p$aminosulfonylamino,
$(C_{1-8}$ alkyl$)_p$aminosulfonylamino C_{1-6} alkyl,
(aryl)$_p$aminosulfonylamino C_{1-6} alkyl,
(aryl C_{1-8} alkyl)$_p$aminosulfonylamino,
(aryl C_{1-8} alkyl)$_p$aminosulfonylamino C_{1-6} alkyl,
C_{1-6} alkylthiocarbonylamino,
C_{1-6} alkylthiocarbonylamino C_{1-6} alkyl,
arylthiocarbonylamino C_{1-6} alkyl,
aryl C_{1-6} alkylthiocarbonylamino,
aryl C_{1-6} alkylthiocarbonylamino C_{1-6} alkyl, and
C_{7-20} polycyclyl C_{0-8} alkylsulfonylamino.

49. The compound of Claim 48 wherein R^5, R^6, and R^8 are each hydrogen and R^7 is selected from the group consisting of
hydrogen,
aryl,
C_{1-8} alkylcarbonylamino,
aryl C_{1-6} alkylcarbonylamino,
arylcarbonylamino,
C_{1-8} alkylsulfonylamino,
aryl C_{1-6} alkylsulfonylamino,
arylsulfonylamino,
C_{1-8} alkoxycarbonylamino,
aryl C_{1-8} alkoxycarbonylamino,
arylaminocarbonylamino,

contd. A2

$(C_{1-8}$ alkyl)$_p$aminocarbonylamino,
(aryl C_{1-8} alkyl)$_p$aminocarbonylamino,
$(C_{1-8}$ alkyl)$_p$aminosulfonylamino, and
(aryl C_{1-8} alkyl)$_p$aminosulfonylamino.

50. The compound according to Claim 49 wherein R^9 is selected from the group consisting of hydrogen, methyl, and ethyl.

51. The compound according to Claim 50 wherein R^9 is hydrogen.

52. The compound of Claim 44 which is:

3-[5-(2-Amino-pyrimidin-4-yl)-pentanoylamino]-3(S)-(quinolin-3-yl)-propionic acid;

and the pharmaceutically acceptable salts thereof.

53. A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 41 and a pharmaceutically acceptable carrier.

54. The composition of Claim 53 which further comprises an active ingredient selected from the group consisting of

a) an organic bisphosphonate or a pharmaceutically acceptable salt or ester thereof,
b) an estrogen receptor modulator,
c) a cytotoxic/antiproliferative agent,
d) a matrix metalloproteinase inhibitor,
e) an inhibitor of epidermal-derived, fibroblast-derived, or platelet-derived growth factors,
f) an inhibitor of VEGF,
g) an inhibitor of Flk-1/KDR, Flt-1, Tck/Tie-2, or Tie-1,
h) a cathepsin K inhibitor, and
i) a farnesyl transferase inhibitor or a geranylgeranyl transferase inhibitor or a dual farnesyl/geranylgeranyl transferase inhibitor;

contd
a2

and mixtures thereof.

55. The composition of Claim 54 wherein said active ingredient is selected from the group consisting of

a) an organic bisphosphonate or a pharmaceutically acceptable salt or ester thereof,
b) an estrogen receptor modulator, and
c) a cathepsin K inhibitor;
and mixtures thereof.

56. The composition of Claim 55 wherein said organic bisphosphonate or pharmaceutically acceptable salt or ester thereof is alendronate monosodium trihydrate.

57. The composition of Claim 54 wherein said active ingredient is selected from the group consisting of

a) a cytotoxic/antiproliferative agent,
b) a matrix metalloproteinase inhibitor,
c) an inhibitor of epidermal-derived, fibroblast-derived, or platelet-derived growth factors,
d) an inhibitor of VEGF,
e) an inhibitor of Flk-1/KDR, Flt-1, Tck/Tie-2, or Tie-1, and
f) a cathepsin K inhibitor;
and mixtures thereof.

58. A method of eliciting an integrin receptor antagonizing effect in a mammal in need thereof, comprising administering to the mammal a therapeutically effective amount of a compound according to Claim 41.

59. The method of Claim 58 wherein the integrin receptor antagonizing effect is an $\alpha v\beta 3$ antagonizing effect.